

DN 114:81809

TI Preparation of 7-amino-2-(hydroxymethyl)-s-triazolo[1,5-a]pyrimidine derivatives as cardiovascular agents

IN Shimizu, Shinichiro

PA Japan

SO Jpn. Kokai Tokkyo Koho, 11 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

IC ICM C07D487-04

ICS A61K031-505

ICA A61K007-06

CC 28-2 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI JP 02212488

A2

19900823

JP 1989-32929

19890213

OS MARPAT 114:81809

GI Diagram(s) available in offline prints and/or printed CA Issue.

AB The title derivs. I (R1, R2 =H, lower alkyl, aralkyl; R3 = H, lower alkyl; R4 = H, lower alkyl; CF3; R3R4 may be alkylene; R5 = H, NO2, ester resid of org. carboxylic acids, CONR6R7; R6, R7 = H, lower alkyl) are prepd. & drugs for treatment of cardiovascular disorders, esp. cerebral ischemic diseases such as arteriosclerosis, cerebral and myocardial infarction, senile dementia, hyperlipemia, etc. I show coronary vasodilatory activity, inhibition on synthesis of prostaglandins and thromboxane A2, and hypolipemic activity. I are also useful as inhibitors for tumor metastasis, ulcer inhibitors, drugs for skin diseases, and hair growth. DMF soln. of 160 g 2-(hydroxymethyl)-5-methyl-s-triazolo[1,5-a]-pyrimidin-7-ol was treated with Ac2O and p-MeC6H4SO3H at 70.degree. for 22 h to give 120 g 2-(acetoxymethyl)-5-methyl-s-triazolo[1,5-a]pyrimidin-7-ol, 60 g of which was further treated with a reaction mixt. of POCl3 and PhNMe2 at 50-60.degree. for 1 h to give 63 g 2-(acetoxymethyl)-5-methyl-7-chloro-s-triazolo[1,5-a]pyrimidine (II). Et2NH was added dropwise to an EtOH suspension of 24 g II at 0.degree. over 15 min and the reaction mixt. was further stirred at room temp. for 1 h to give 25 g I (R1 = R2 = Et, R3 = H, R4 = Me, R5 = Ac).

ST triazolopyrimidine prepn cardiovascular agent; hypolipemic triazolopyrimidine prepn; vasodilator triazolopyrimidine prepn; cerebral ischemic disease treatment triazolopyrimidine

IT Anticholesteremics and Hypolipemics

Neoplasm inhibitors

Ulcer inhibitors

Vasodilators

(amino(hydroxymethyl)triazolopyrimidine derivs.)

IT Hair

(growth of, amino(hydroxymethyl)triazolopyrimidine effects on)

IT Skin, disease or disorder

(treatment of, amino(hydroxymethyl)triazolopyrimidine derivs. for)

IT ***55605-57-7***

RL: RCT (Reactant)

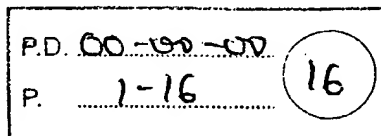
(acetylation of, acetoxymethyl deriv. from)

IT ***89-97-4***, 2-Chlorobenzylamine ***109-89-7***, Diethylamine, reactions ***124-40-3***, Dimethylamine, reactions

RL: RCT (Reactant)

(amination with, of chlorotriazolopyrimidine derivs.)

IT ***624-83-9***, Methyl isocyanate ***1189-71-5***, Chlorosulfonyl



isocyanate
 RL: RCT (Reactant)
 (carbamoylation with, of (hydroxymethyl)triazolopyrimidine)

IT ***63870-39-3***
 RL: RCT (Reactant)
 (cyclocondensation of, with Et oxocyclopentanecarboxylate,
 hydroxy(hydroxymethyl)cyclopentatriazolopyrimidine from)

IT ***611-10-9*** , Ethyl 2-oxocyclopentanecarboxylate
 RL: RCT (Reactant)
 (cyclocondensation of, with amino(hydroxymethyl)triazole,
 hydroxy(hydroxymethyl)cyclopentatriazolopyrimidine from)

IT ***62-46-4*** , Thiocetic acid ***112-77-6*** , Oleoyl chloride
 1002-84-2 , Pentadecanoic acid ***10417-94-4***
 20260-53-1 , Nicotinoyl chloride hydrochloride
 RL: RCT (Reactant)
 (esterification of, with (hydroxymethyl)triazolopyrimidines)

IT ***131278-43-8P***
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and acetylation of, acetoxymethyl deriv. from)

IT ***121608-85-3P*** ***131278-45-0P***
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and amination of, with dialkylamines)

IT ***121608-84-2P*** ***131278-44-9P***
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and chlorination of)

IT ***131258-83-8P*** ***131258-85-0P*** ***131278-46-1P***
 131278-47-2P ***131278-48-3P*** ***131278-49-4P***
 131278-50-7P ***131278-51-8P*** ***131278-52-9P***
 131278-53-0P ***131278-54-1P*** ***131278-55-2P***
 131278-56-3P ***131278-57-4P*** ***131278-58-5P***
 131278-59-6P ***131278-60-9P*** ***131278-61-0P***
 131278-62-1P ***131278-63-2P*** ***131278-64-3P***
 131278-65-4P ***131278-66-5P*** ***131278-67-6P***
 131278-68-7P ***131302-05-1P*** ***131302-06-2P***
 131302-07-3P ***131302-08-4P*** ***131325-42-3P***
 131826-51-2P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of, as cardiovascular agent)

IT ***55605-57-7***
 RL: RCT (Reactant)
 (acetylation of, acetoxymethyl deriv. from)

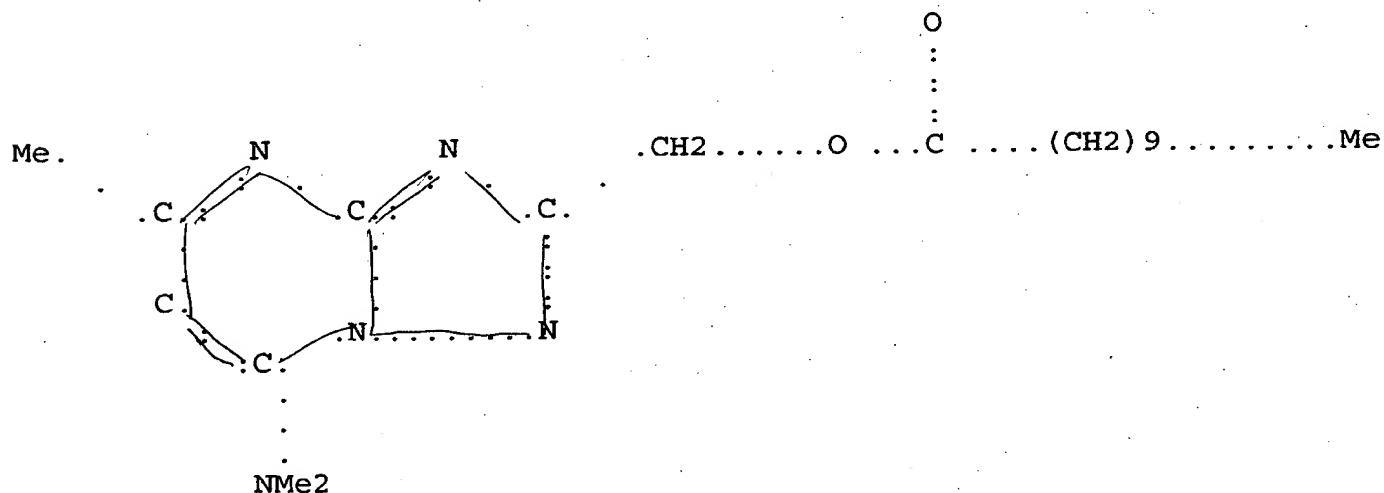
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131278-68-7P	***131302-05-1P***	***131278-67-6P***
131302-07-3P	***131302-08-4P***	***131302-06-2P***
131826-51-2P		***131325-42-3P***

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of, as cardiovascular agent)

RN 131258-83-8 CAPLUS
 CN Undecenoic acid, [7-(dimethylamino)-5-methyl[1,2,4]triazolo[1,5-
 alpyrimidin-2-yl]methyl ester (9CI) (CA INDEX NAME)

CM 1

CRN 131258-82-7
 CMF C20 H33 N5 O2

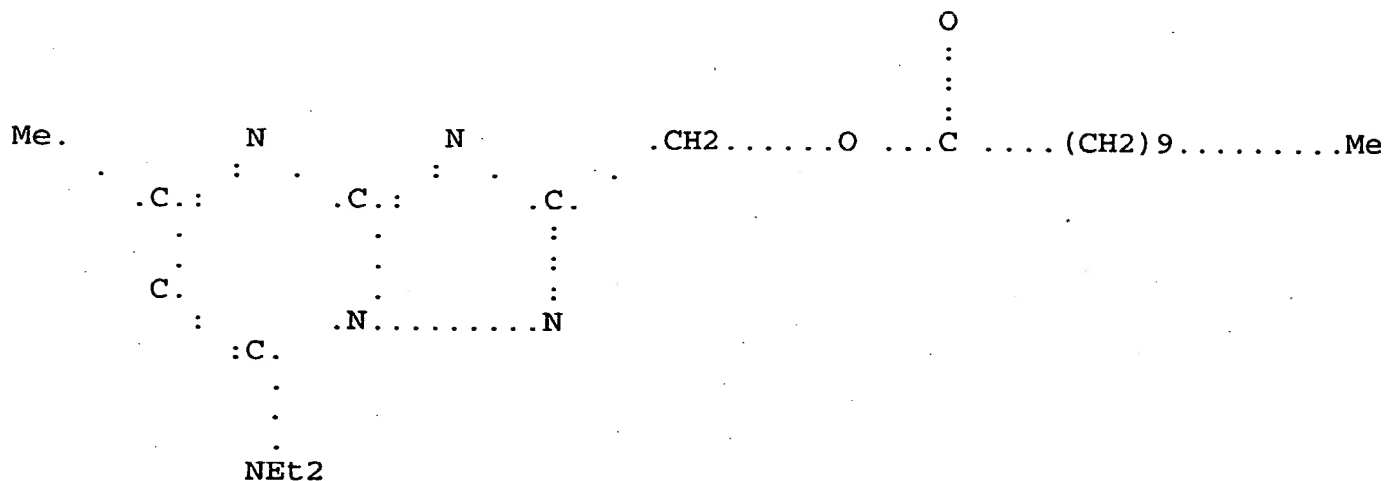


	RN	131258-85-0	CAPLUS
CN	Undecenoic acid, [7-(diethylamino)-5-methyl[1,2,4]triazolo[1,5-a]pyrimidin-2-yl]methyl ester (9CI)	(CA INDEX NAME)	

CM 1

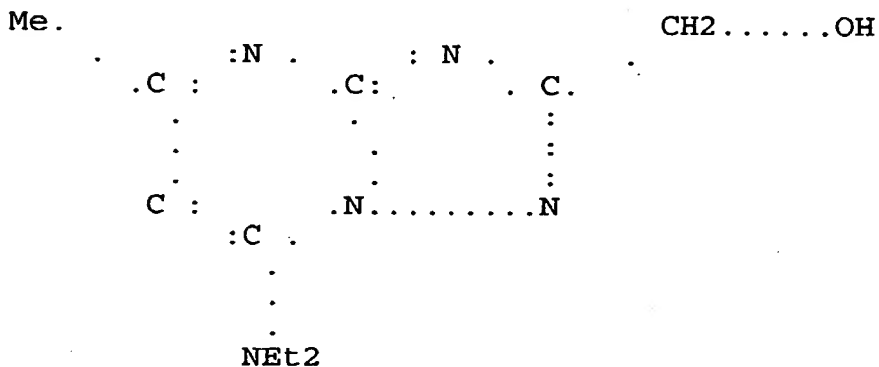
CRN 131258-84-9

CMF C22 H37 N5 O2



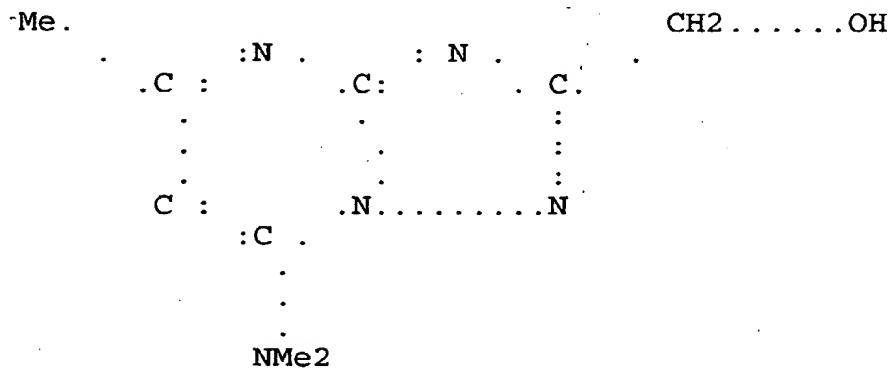
RN 131278-46-1 CAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidine-2-methanol, 7-(diethylamino)-5-methyl-
(9CI) (CA INDEX NAME)



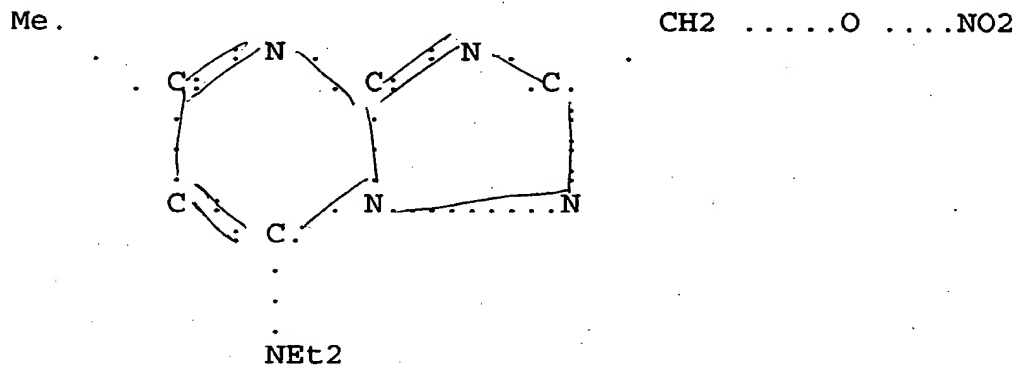
RN 131278-47-2 CAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidine-2-methanol, 7-(dimethylamino)-5-methyl-
(9CI) (CA INDEX NAME)

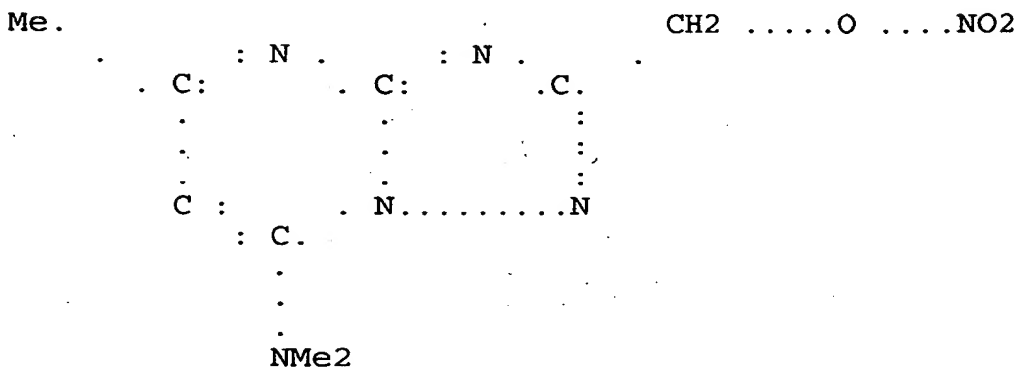


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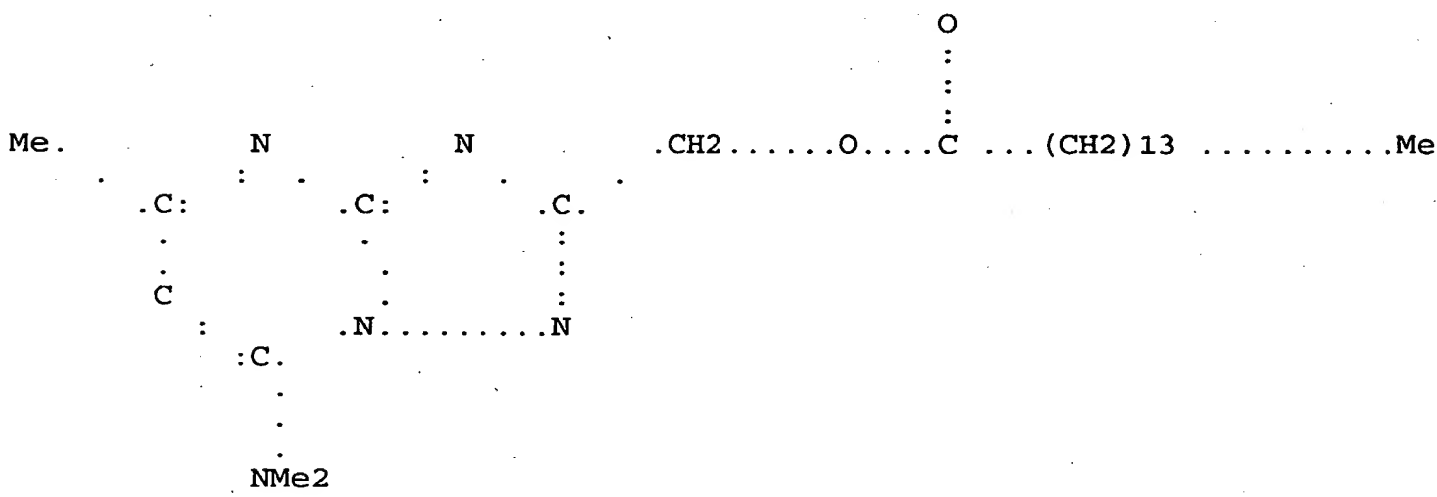
CN [1,2,4]Triazolo[1,5-a]pyrimidine-2-methanol, 7-(diethylamino)-5-methyl-,
nitrate (ester) (9CI) (CA INDEX NAME)



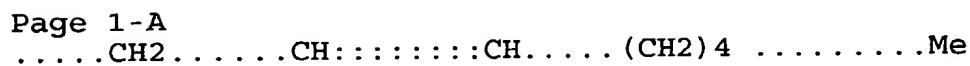
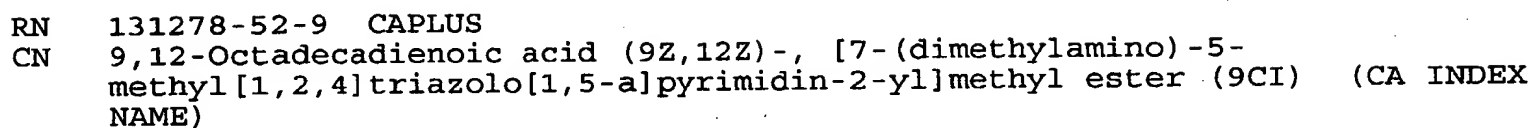
RN 131278-49-4 CAPLUS
 CN [1,2,4]Triazolo[1,5-a]pyrimidine-2-methanol, 7-(dimethylamino)-5-methyl-
 nitrate (ester) (9CI) (CA INDEX NAME)



RN 131278-50-7 CAPLUS
 CN Pentadecanoic acid, [7-(dimethylamino)-5-methyl[1,2,4]triazolo[1,5-
 a]pyrimidin-2-yl]methyl ester (9CI) (CA INDEX NAME)

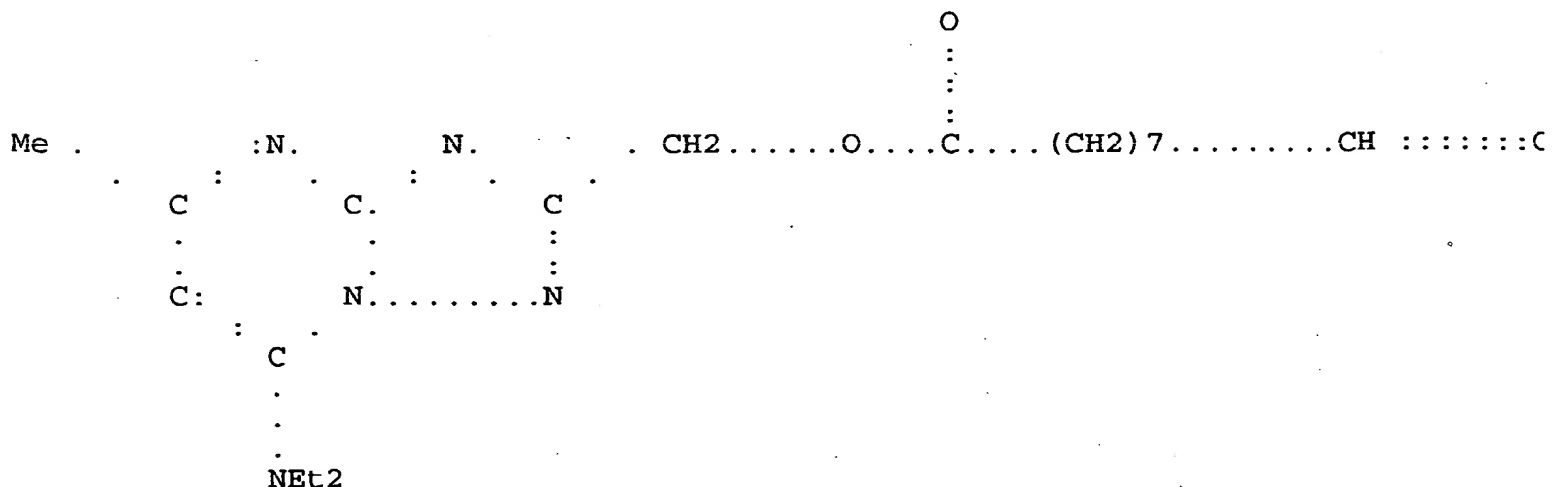


CN Pentadecanoic acid, [7-(diethylamino)-5-methyl[1,2,4]triazolo[1,5-a]pyrimidin-2-yl]methyl ester (9CI) (CA INDEX NAME)



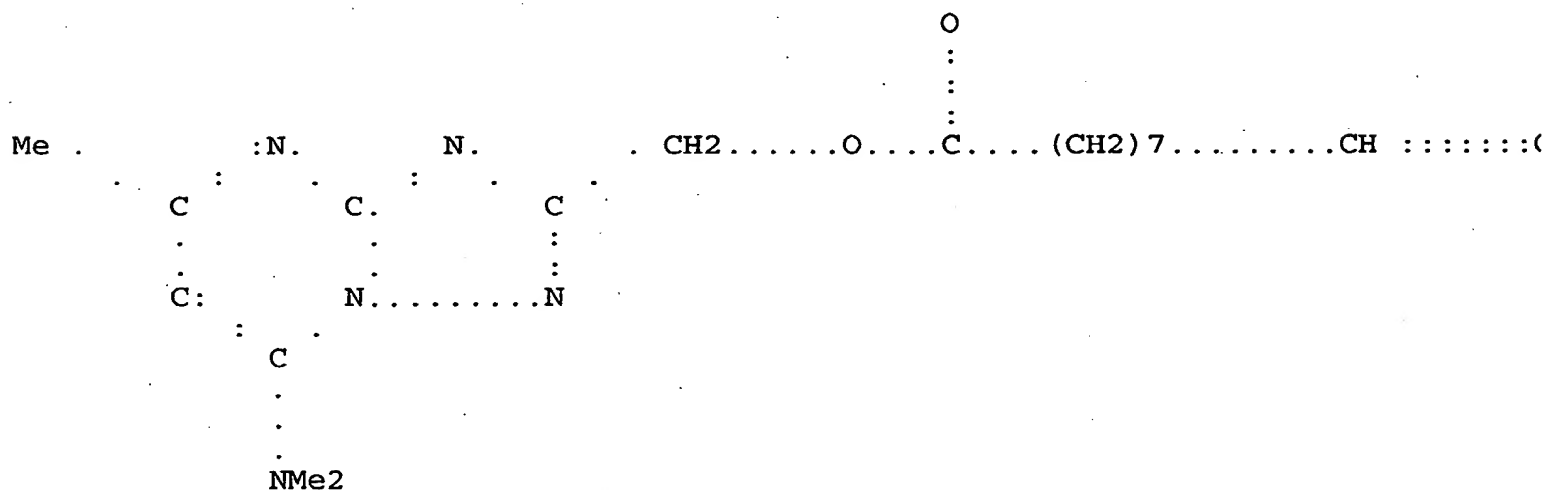
Page 1-B
STEREO DIAGRAM AVAILABLE WITH GRAPHICS TERMINAL OR OFFLINE PRINT

CN 9,12,15-Octadecatrienoic acid, [7-(diethylamino)-5-methyl[1,2,4]triazolo[1,5-a]pyrimidin-2-yl]methyl ester, (Z,Z,Z) - (9CI)
(CA INDEX NAME)


$$\dots \text{CH}_2 \quad \dots \text{CH} \quad ::::: \text{CH} \dots \text{CH}_2 \dots \text{CH} \quad ::::: \text{CH} \dots \text{Et}$$

STEREO DIAGRAM AVAILABLE WITH GRAPHICS TERMINAL OR OFFLINE PRINT

CN 9,12,15-Octadecatrienoic acid, [7-(dimethylamino)-5-methyl[1,2,4]triazolo[1,5-a]pyrimidin-2-yl]methyl ester, (Z,Z,Z) - (9CI)
(CA INDEX NAME)


$$\dots \text{CH}_2 \quad \dots \text{CH} \quad ::::: \text{CH} \dots \text{CH}_2 \dots \text{CH} \quad ::::: \text{CH} \dots \text{Et}$$

STEREO DIAGRAM AVAILABLE WITH GRAPHICS TERMINAL OR OFFLINE PRINT

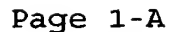
RN 131278-5E



Page 1-B

RN 131278-56-3 CAPLUS

5,8,11,14,17-Eicosapentaenoic acid, [7-(dimethylamino)-5-methyl[1,2,4]triazolo[1,5-a]pyrimidin-2-yl]methyl ester, (all-Z)- (9CI)
(CA INDEX NAME)



Page 1-B

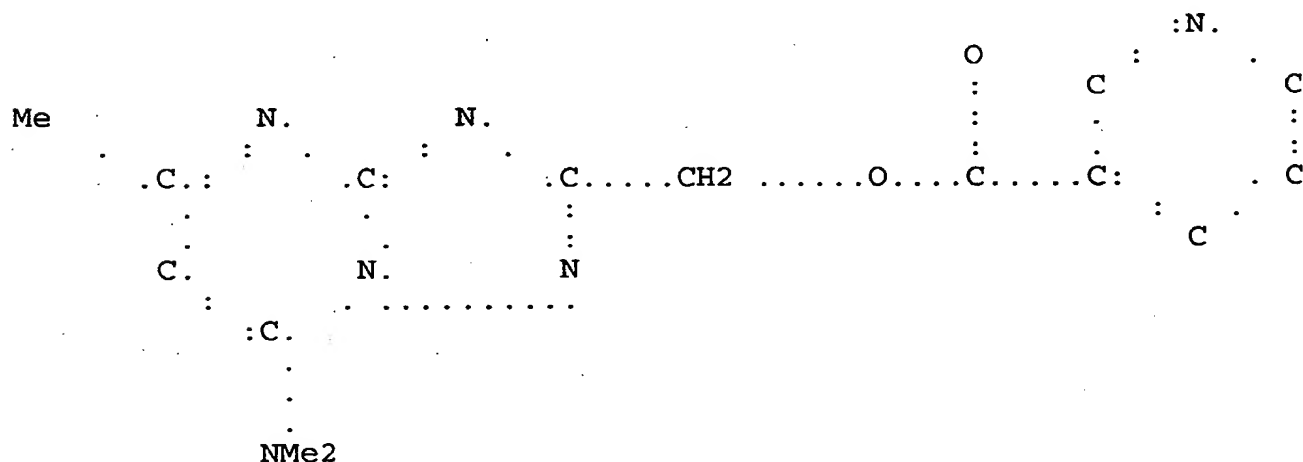
Page 1-C

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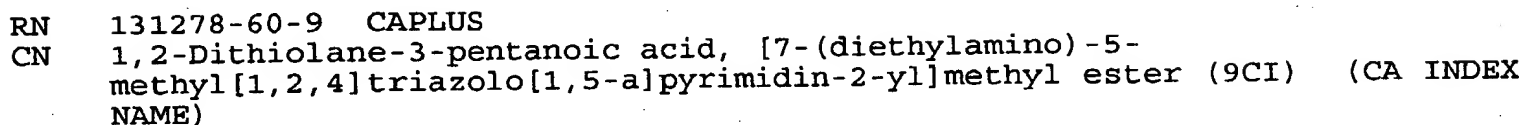
CN Retinoic acid, [7-(diethylamino)-5-methyl[1,2,4]triazolo[1,5-a]pyrimidin-yl]methyl ester (9CI) (CA INDEX NAME)



3-Pyridinecarboxylic acid, [7-(dimethylamino)-5-methyl[1,2,4]triazolo[1,5-c]pyrimidin-2-yl]methyl ester (9CI) (CA INDEX NAME)

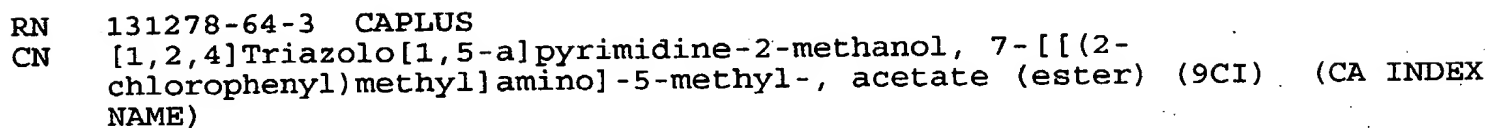


CN 3-Pyridinecarboxylic acid, [7-(diethylamino)-5-methyl[1,2,4]triazolo[1,5-a]pyrimidin-2-yl]methyl ester (9CI) (CA INDEX NAME)

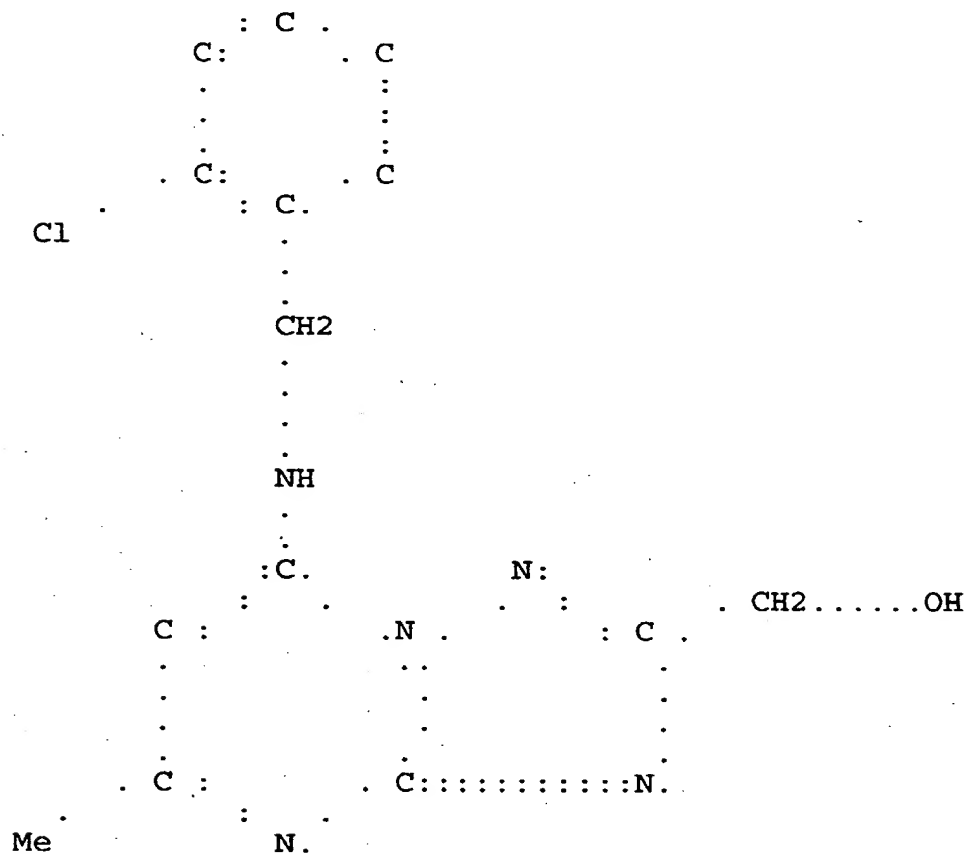


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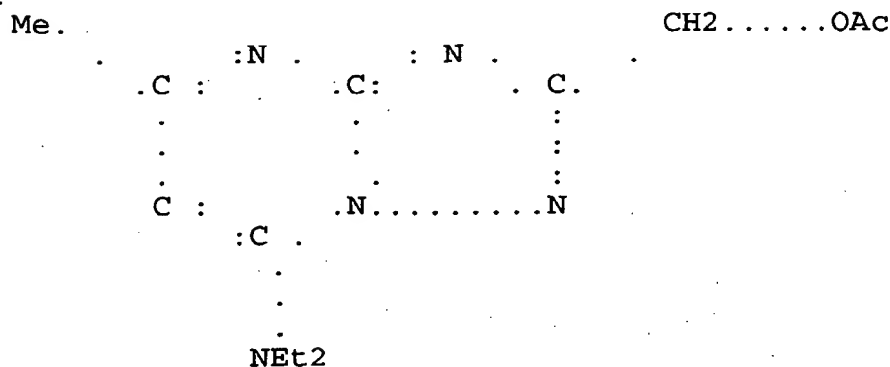
CN [1,2,4]Triazolo[1,5-a]pyrimidine-2-methanol, 7-(diethylamino)-5-methyl-, methylcarbamate (ester) (9CI) (CA INDEX NAME)



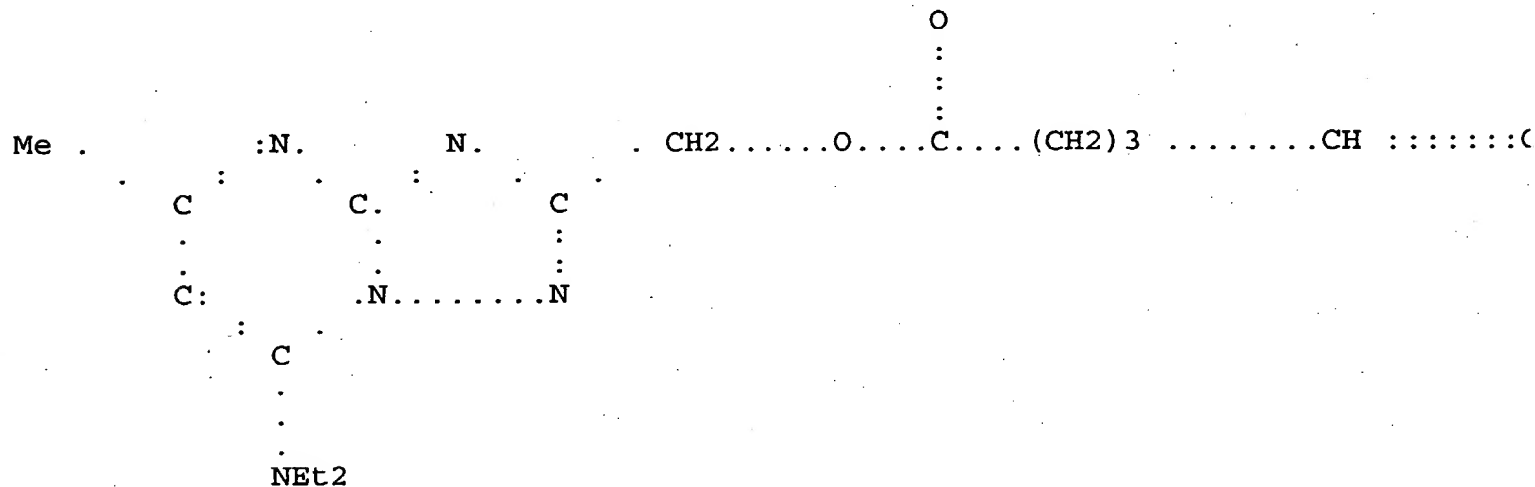
CN [1,2,4]Triazolo[1,5-a]pyrimidine-2-methanol, 7-[[2-chlorophenyl)methyl]amino]-5-methyl- (9CI) (CA INDEX NAME)



RN 131278-68-7 CAPLUS
 CN [1,2,4]Triazolo[1,5-a]pyrimidine-2-methanol, 7-(diethylamino)-5-methyl-,
 acetate (ester) (9CI) (CA INDEX NAME)



RN 131302-05-1 CAPLUS
 CN 5,8,11,14,17-Eicosapentaenoic acid, [7-(diethylamino)-5-methyl[1,2,4]triazolo[1,5-a]pyrimidin-2-yl]methyl ester, (all-Z) - (9CI)
 (CA INDEX NAME)



Page 1-A

....CH2CH :::::::CH.....CH2CH :::::::CH.....CH2.....CH :::::::CH.

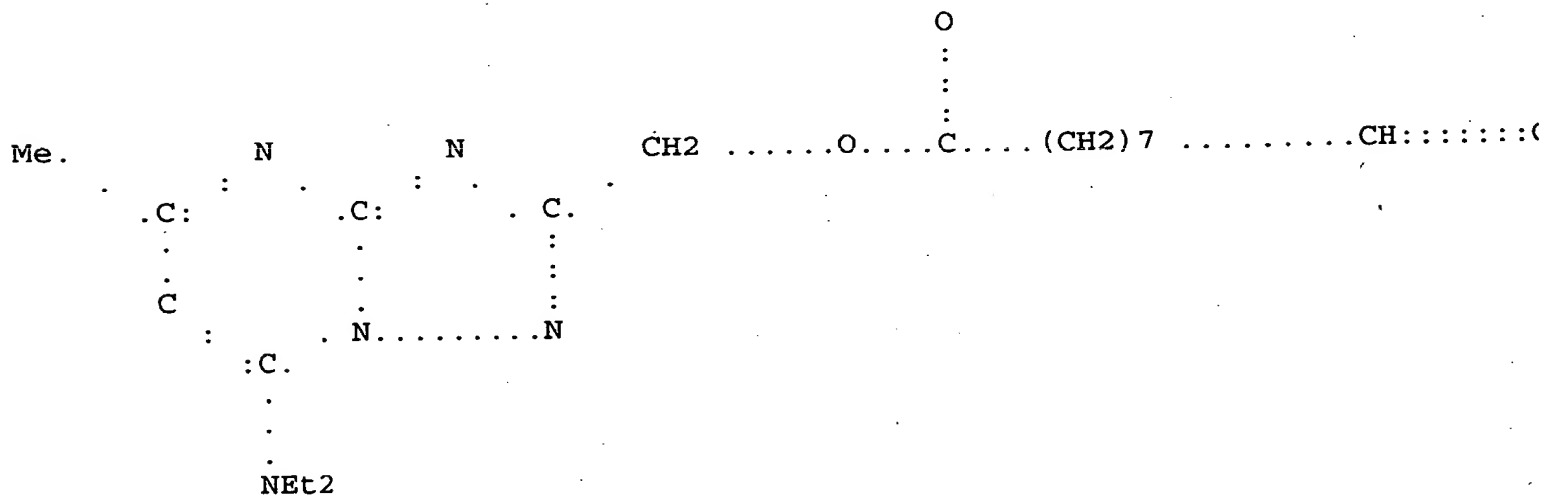
Page 1-B

..CH2.....CH :::::::CH.....Et

Page 1-C

STEREO DIAGRAM AVAILABLE WITH GRAPHICS TERMINAL OR OFFLINE PRINT

CN 9,12-Octadecadienoic acid (9Z,12Z)-, [7-(diethylamino)-5-methyl[1,2,4]triazolo[1,5-a]pyrimidin-2-yl]methyl ester (9CI) (CA INDEX NAME)



Page 1-A
.....CH2.....CH::::::::::CH.....(CH2)4.....Me

Page 1-B
STEREO DIAGRAM AVAILABLE WITH GRAPHICS TERMINAL OR OFFLINE PRINT